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CL55... ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2001 ACS

AN 1997:390195 HCAPLUS

DN 127:76226

TI Inhibition of ovulation with transdermal estradiol and oral progestogens in perimenopausal women

AU De Leo, Vincenzo; Lanzetta, Danila; Morgante, Giuseppe; De Palma, Patrizia; D'Antona, Donato

CS Dep. Obstetrics & Gynecology, Univ. Siena, Italy

SO Contraception (1997), 55(4), 239-243

CODEN: CCPTAY; ISSN: 0010-7824

PB Elsevier

DT Journal

LA English

AB The effects of 6 mo of combined hormone therapy with transdermal estradiol (0.05 mg/day x 21 days) and different oral progestogens (10 mg/day medroxyprogesterone acetate [MPA] in the last 12 days, 10 mg/day dihydrogesterone in the last 12 days, and 50 mg/day cyproterone in the first 10 days), on menopausal symptoms and hypothalamo-pituitary-ovarian function were studied in normal perimenopausal women. The study included 38 perimenopausal women, aged 43-49 yr, with regular cycles of 26-32 days in length and menopausal symptoms. Endocrine status was detd. by assay of basal levels of gonadotropins (LH, FSH), E2, and P every week until **menstrual** bleeding, before and during the first month of therapy. Plasma levels of LH and FSH were suppressed in the first month of therapy while E2 had a mean value of 45.+-12 pg/mL. Ultrasound examn. and low levels of P indicated a complete block of ovulation and hypothalamo-pituitary-ovarian activity. All women reported the disappearance of vasomotor symptoms and nocturnal sweating. Transdermal estradiol and oral progestogens were well tolerated. This study shows that combined hormone therapy with low doses of transdermal estrogen patches and different oral progestogens reduces menopausal symptoms and also safeguards against unwanted pregnancies in the perimenopausal period.

IT 427-51-0, Cyproterone acetate

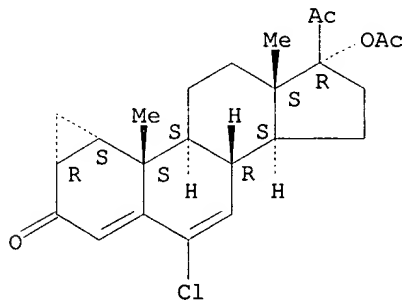
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(inhibition of **ovulation** with transdermal estradiol and oral progestogens in perimenopausal women)

RN 427-51-0 HCAPLUS

CN 3'H-Cyclopropa[1,2]pregna-1,4,6-triene-3,20-dione, 17-(acetyloxy)-6-chloro-1,2-dihydro-, (1.beta.,2.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

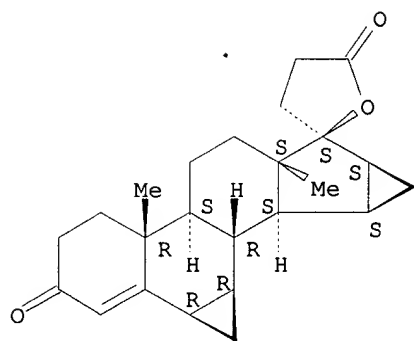


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L55 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2001 ACS
 AN 1991:648272 HCAPLUS
 DN 115:248272
 TI Dihydrospirorenone, a new progestogen with antimineralocorticoid activity: effects on ovulation, electrolyte excretion, and the renin-aldosterone system in normal women
 AU Oelkers, W.; Berger, V.; Bolik, A.; Baehr, V.; Hazard, B.; Beier, S.; Elger, W.; Heithecker, A.
 CS Klin. Steglitz, Freie Univ. Berlin, Berlin, D-1000/45, Fed. Rep. Ger.
 SO J. Clin. Endocrinol. Metab. (1991), 73(4), 837-42
 CODEN: JCEMAZ; ISSN: 0021-972X
 DT Journal
 LA English
 AB Dihydrospirorenone (DHSP; 6.beta.,7.beta.,15.beta.,16.beta.-dimethyle-3-oxo-17.alpha.-pregn-4-en-21,17-carbolacton) is an aldosterone antagonist 8 times as potent as spironolactone in the rat. It is also a progestogen that suppresses ovulation in normal women at a daily dosage of 2 mg. The effects of this dosage on the renin-aldosterone system and Na and K balances were investigated in two expts. In study I, healthy women received a diet with 100 mmol Na and 60-70 mmol K per day on days 3-13 of their normal **menstrual** cycles. They received 2 mg DHSP or placebo on days 8-13 of the cycle. Na excretion in the DHSP group rose from a mean of 79 to 98.5 8.3 mmol/day during medication. Placebo had no effect. The difference between av. Na excretion rates in subjects treated with DHSP or placebo was close to significance. K excretion did not change. Wt. loss was slightly greater after DHSP than placebo treatment. Plasma renin activity (PRA) and plasma and urinary aldosterone rose during DHSP medication. In study II, women on a free diet were studied during a control and a treatment cycle. On days 5-25 of the 2nd cycle, they took 2 mg DHSP or 1 mg cyproterone acetate. Both compds. suppressed ovulation and the rise in progesterone. During cycle 1, Na excretion, PRA, and aldosterone were higher in the luteal than in the follicular phase, probably due to an antialdosterone effect of progesterone. DHSP reversed this pattern of natriuresis by inducing an early natriuresis and a rise in PRA and aldosterone. Cyproterone acetate only abolished differences in natriuresis between the follicular and luteal phases and the rise of PRA and plasma aldosterone in the luteal phase. Thus, DHSP may be a suitable partner of ethinyl estradiol as a constituent of an oral contraceptive, since its progestogenic and antialdosterone profile is similar to that of progesterone. Other synthetic progestogens are devoid of an antialdosterone effect. The antialdosterone effect of DHSP may help prevent Na retention and a rise in blood pressure in susceptible women.
 IT **67392-87-4**
 RL: BIOL (Biological study)
 (electrolyte excretion and **ovulation** and renin-aldosterone system of women in response to, contraception in relation to)
 RN 67392-87-4 HCAPLUS
 CN Spiro[17H-dicyclopropa[6,7:15,16]cyclopenta[a]phenanthrene-17,2'(5'H)-furan]-3,5'(2H)-dione, 1,3',4',6,7,8,9,10,11,12,13,14,15,16,20,21-hexadecahydro-10,13-dimethyl-, (2'S,6R,7R,8R,9S,10R,13S,14S,15S,16S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

QAZI 09/619,493



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L55 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2001 ACS
 AN 1984:17870 HCAPLUS
 DN 100:17870
 TI Arrest of folliculogenesis and inhibition of ovulation in the monkey following weekly administration of progestins
 AU Wilks, John W.; Spilman, Charles H.; Campbell, J. Allan
 CS Fertil. Res., Upjohn Co., Kalamazoo, MI, 49001, USA
 SO Fertil. Steril. (1983), 40(5), 688-92
 CODEN: FESTAS; ISSN: 0015-0282
 DT Journal
 LA English
 AB Progesterone [57-83-0] (7.5 mg), norethisterone [68-22-4] (1.5 mg), and 17.alpha.-ethinyl-17.beta.-methoxy-7.alpha.-methyl-4-estren-3-one [88210-48-4] (1.0 or 1.5 mg) effectively inhibited **ovulation** in rhesus monkeys when injected i.m. once a week for 8 wk beginning on day 7 of the **menstrual** cycle. Orally administered STS 557 (17.alpha.-cyanomethyl-17.beta.-hydroxy-4,9-estradien-3-one) [65928-58-7] (1.0 mg) also inhibited **ovulation**. Two structurally related steroids (17.beta.-methoxy-4-estren-3-one [846-14-0], 1.0 mg; and 17.beta.-methoxy-7.alpha.-methyl-4-estren-3-one [74752-25-3], 1.5 mg) did not inhibit **ovulation** when given i.m. at the indicated doses. Although weekly administration of certain progestins effectively arrested follicular development and inhibited **ovulation** in the primate, the treatment was accompanied by disturbances in **menstrual** bleeding patterns.
 IT 65928-58-7
 RL: BIOL (Biological study)
 (ovulation inhibition by, in monkey)
 RN 65928-58-7 HCAPLUS
 CN 19-Norpregna-4,9-diene-21-nitrile, 17-hydroxy-3-oxo-, (17.alpha.)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

